



Europäisches Patentamt
European Patent Office
Office européen des brevets



Publication number : 0 618 221 A3

(12)

EUROPEAN PATENT APPLICATION

(21) Application number : 94302255.8

(51) Int. Cl.⁵ : C07K 5/00, A61K 37/02

(22) Date of filing : 29.03.94

(30) Priority : 02.04.93 US 42377
29.06.93 US 85338

(43) Date of publication of application :
05.10.94 Bulletin 94/40

(84) Designated Contracting States :
AT BE CH DE DK ES FR GB GR IE IT LI LU MC
NL PT SE

(88) Date of deferred publication of search report :
15.02.95 Bulletin 95/07

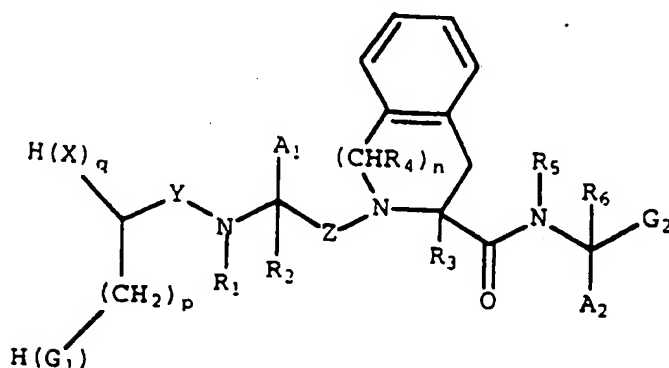
(71) Applicant : BRISTOL-MYERS SQUIBB
COMPANY
P.O. Box 4000
Princeton, NJ 08543-4000 (US)

(72) Inventor : Patel, Dinesh V.
10253 Parkwood Drive, Apt. No. 7
Cupertino, CA (US)
Inventor : Kline, Toni B.
79th Street Boat Basin
New York, NY (US)
Inventor : Meyers, Chester A.
5 Fox Trail
Medford, NJ (US)
Inventor : Leftheris, Katerina
92 Richmond Drive
Skillman, NJ (US)
Inventor : Bhide, Rajeev S.
156 Barnsbury Road
Langhorne, PA (US)

(74) Representative : Thomas, Roger Tamlyn et al
D. Young & Co.
21 New Fetter Lane
London EC4A 1DA (GB)

(54) Heterocyclic inhibitors of farnesyl protein transferase.

(57) Inhibition of farnesyl protein transferase is effected by compounds of the formula



its enantiomers, diastereomers, pharmaceutically acceptable salts, prodrugs or solvates thereof, wherein :

A₁ and A₂ are each independently H, alkyl, substituted alkyl, cycloalkyl, substituted cycloalkyl, phenyl or substituted phenyl ;

G₁ is S or O ;

G₂ is H, -C(O)OH, -C(O)NH₂, 5-tetrazolyl, -C(O)N(R₇)OH or -CH₂OH ;

X is O or R₈N ;

Y and Z are each independently -CH₂- or -C(O)- ;

R₁, R₂, R₃, R₄, R₅, R₆ and R₇ are each independently H or alkyl ;

R₁ may also be alkanoyl,

R₁ and A₁ taken together may be -(CH₂)_m ;

R₈ is H, alkyl, phenyl, phenylalkyl, substituted phenyl, (substituted phenyl)alkyl or -C(O)R₉ ;

R₉ is H, alkyl, phenyl, phenylalkyl, substituted phenyl or (substituted phenyl)alkyl ;

m is 3 or 4 ;



European Patent
Office

EUROPEAN SEARCH REPORT

Application Number

DOCUMENTS CONSIDERED TO BE RELEVANT			EP 94302255.8
Category	Citation of document with indication, where appropriate, of relevant passages	Relevant to claim	CLASSIFICATION OF THE APPLICATION (Int. Cl.5)
A	EP - A - 0 528 486 (MERCK & CO. INC.) * Claims 1,4-6 *	1-19	C 07 K 5/00 A 61 K 37/02
A	EP - A - 0 523 873 (MERCK & CO. INC.) * Claims 1,6-9 *	1-19	
A	EP - A - 0 461 869 (MERCK & CO. INC.) * Claims 1,6-10 *	1-19	
A	EP - A - 0 456 180 (E.R. SQUIBB & SONS, INC.) * Claims 1,2 *	1-19	
			TECHNICAL FIELDS SEARCHED (Int. Cl.5)
			C 07 K 5/00
The present search report has been drawn up for all claims			
Place of search VIENNA	Date of completion of the search 24-11-1994	Examiner BRUS	
<p>CATEGORY OF CITED DOCUMENTS</p> <p>X : particularly relevant if taken alone Y : particularly relevant if combined with another document of the same category A : technological background O : non-written disclosure P : intermediate document</p> <p>T : theory or principle underlying the invention E : earlier patent document, but published on, or after the filing date D : document cited in the application L : document cited for other reasons & : member of the same patent family, corresponding document</p>			

EP(3) FORM 1503 (03.82) (P0401)

BEST AVAILABLE COPY